

This Page Is Inserted by IFW Operations  
and is not a part of the Official Record

## **BEST AVAILABLE IMAGES**

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images may include (but are not limited to):

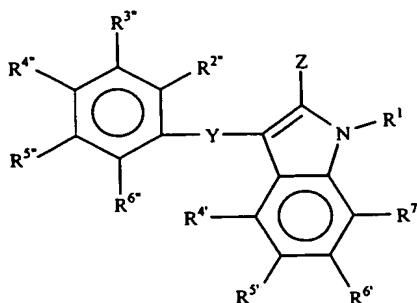
- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

**IMAGES ARE BEST AVAILABLE COPY.**

**As rescanning documents *will not* correct images,  
please do not report the images to the  
Image Problem Mailbox.**

**WE CLAIM:**

1. A compound of the formula (I):



or its pharmaceutically acceptable salt thereof, wherein

- (a)  $R^1$  is hydrogen; acyl;  $-C(=O)H$ ;  $-C(=W)H$ ;  $-C(=O)R^2$ ;  $-C(=W)R^2$ ;  $-C(=O)OH$ ;  $-C(=W)OH$ ;  $-C(=O)OR^2$ ;  $-C(=W)OR^2$ ;  $-C(=O)SH$ ;  $-C(=W)SH$ ;  $-C(=O)SR^2$ ;  $-C(=W)SR^2$ ;  $-C(=O)NH_2$ ;  $-C(=W)NH_2$ ;  $-C(=O)NHR^2$ ;  $-C(=W)NHR^2$ ;  $-C(=O)NR^2R^3$ ;  $-C(=W)NR^2R^3$ ;  $-C(=W)NH-(CH_2)_p$ -(amino acid) or  $-(CH_2)_p$ -(amino acid);
- (b)  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^{2''}$ ,  $R^{3''}$ ,  $R^{4''}$ ,  $R^{5''}$  and  $R^{6''}$  are each independently H; halo (F, Cl, Br or I);  $-NO_2$ ;  $-CN$ ;  $-OH$ ;  $-OR^2$ ;  $-SH$ ;  $-SR^2$ ;  $-NH_2$ ;  $-NHR^2$ ;  $-NR^2R^3$ ;  $-NHSO_2-C_{1-3}alkyl$ ;  $-NR^2SO_2-C_{1-3}alkyl$ ;  $-NHCO-C_{1-3}alkyl$ ;  $-NR^2CO-C_{1-3}alkyl$ ; optionally substituted or unsubstituted branched or unbranched alkyl, alkenyl or alkynyl (such as an optionally substituted or unsubstituted branched or unbranched  $C_{1-6}alkyl$ ,  $C_{2-6}alkenyl$  or  $C_{2-6}alkynyl$ , and in particular  $-CH_3$ ,  $CF_3$ , vinyl bromide,  $-CR^2R^2-S(O)_n-R^3$ ,  $-CR^2R^2NH_2$ ,  $-CR^2R^2NHR^2$ ,  $-CR^2R^2NR^2R^3$  and  $-CR^2R^2-C(=O)R^2$ ); alkacyl; optionally substituted or unsubstituted acyl;  $-C(=O)H$ ;  $-C(=W)H$ ;  $-C(=O)R^2$ ;  $-C(=W)R^2$ ;  $-C(=O)OH$ ;  $-C(=W)OH$ ;  $-C(=O)OR^2$ ;  $-C(=W)OR^2$ ;  $-C(=O)-SH$ ;  $-C(=W)SH$ ;  $-C(=O)SR^2$ ;  $-C(=W)SR^2$ ;  $-C(=O)NH_2$ ;  $-C(=W)NH_2$ ;  $-C(=O)NHR^2$ ;  $-C(=W)NHR^2$ ;  $-C(=O)NR^2R^3$ ;  $-C(=W)-NR^2R^3$ ;  $-C(=W)NH(CH_2)_p$ -(amino acid), a residue of an amino acid or  $-(CH_2)_p$ -(amino acid); wherein if  $R^5$  is hydrogen, F, Cl, Br,  $-NO_2$ ,  $-CN$ ,  $-OR^2$ ,  $-NR^2R^2$ ,  $-NHSO_2-C_{1-3}alkyl$  or  $-NHCO-C_{1-3}alkyl$ , then at least one of  $R^4$ ,  $R^6$  and  $R^7$  is not hydrogen or alternatively, wherein at least two of  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  are not hydrogen;

- (c) Z is optionally substituted or unsubstituted acyl,  $-C(=O)NH_2$ ;  $-C(=W)-NH_2$ ;  $-C(=O)NHR^2$ ;  $-C(=W)NHR^2$ ;  $-C(=O)NR^2R^3$ ;  $-C(=W)NR^2R^3$ ;  $-C(=W)NH(CH_2)_p$ -(amino acid); a residue of an amino acid,  $-(CH_2)_p$ -(amino acid);  $-C(=O)R^3$ ;  $-C(=O)H$ ;  $-C(=W)H$ ;  $-C(=O)R^2$ ;  $-C(=W)R^2$ ;  $-C(=O)OR^3$ ;  $-C(=O)OH$ ;  $-C(=W)OH$ ;  $-C(=O)OR^2$ ;  $-C(=W)-OR^2$ ;  $-C(=O)-SH$ ;  $-C(=W)SH$ ;  $-C(=O)SR^2$ ;  $-C(=W)SR^2$ ; optionally substituted or unsubstituted branched or unbranched alkyl, alkenyl or alkynyl (such as an optionally substituted or unsubstituted branched or unbranched  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl or  $C_{2-6}$ alkynyl, and in particular  $CH_3$ ,  $CF_3$ , vinyl bromide,  $-CR^2R^2-S(O)_n-R^3$ ,  $-CR^2R^2NH_2$ ,  $-CR^2R^2NHR^2$ ,  $-CR^2R^2NR^2R^3$  and  $-CR^2R^2-C(=O)R^2$ );  $-CN$ , or halo (F, Cl, Br or I);
- (d) Y is O, S or  $S(O)_n$ ;
- (e) each W is independently O, S,  $-NH_2$ ,  $-NHR^2$ ,  $-NR^2R^2$ ,  $-N-CN$ ,  $-N-NH_2$ ,  $-N-NHR^2$ ,  $-N-NR^2R^3$ ,  $-N-OH$  or  $-N-OR^2$ ;
- (f) each  $R^2$  is independently hydrogen or an optionally substituted or unsubstituted branched or unbranched lower alkyl, alkenyl or alkynyl (such as an optionally substituted or unsubstituted branched or unbranched  $C_{1-3}$ alkyl,  $C_{2-4}$ alkenyl or  $C_{2-4}$ alkynyl, and in particular  $CH_3$ ,  $CF_3$ , vinyl bromide,  $-CR^2R^2-S(O)_n-R^3$ ,  $-CR^2R^2NH_2$ ,  $-CR^2R^2NHR^2$ ,  $-CR^2R^2NR^2R^3$  and  $-CR^2R^2-C(=O)R^2$ );
- (g) each  $R^3$  is independently hydrogen; optionally substituted or unsubstituted branched or unbranched alkyl, alkenyl or alkynyl (such as an optionally substituted or unsubstituted branched or unbranched  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl or  $C_{2-6}$ alkynyl, and in particular  $CH_3$ ,  $CF_3$ , vinyl bromide,  $-CR^2R^2-S(O)_n-R^3$ ,  $-CR^2R^2NH_2$ ,  $-CR^2R^2NHR^2$ ,  $-CR^2R^2NR^2R^3$  and  $-CR^2R^2-C(=O)R^2$ ); optionally substituted or unsubstituted aryl (such as phenyl); optionally substituted or unsubstituted heterocycle; optionally substituted or unsubstituted alkylaryl, optionally substituted or unsubstituted alkylheterocycle, optionally substituted or unsubstituted aralkyl, optionally substituted or unsubstituted heterocycle-alkyl;
- (h) each n is independently 0, 1 or 2;

- (i) each p is independently 0, 1, 2, 3, 4 or 5; and
- (j) wherein if one or more of the optionally substituted branched or unbranched alkyl, alkenyl, alkynyl, lower alkyl, lower alkenyl or lower alkynyl; acyl; aryl; heterocycle; alkaryl; alkheterocycle; arylalkyl or alkylheterocycle substituents is substituted, then preferably it is substituted with one or more of halogen (F, Cl, Br or I), -OH, -OR<sup>2</sup>, -SH, -SR<sup>2</sup>, oxime (defined herein as -CH=N-OH), hydrazine (defined herein as -NH-NH<sub>2</sub>), -C(=O)H, -C(=W)H, -C(=O)R<sup>2</sup>, -C(=W)R<sup>2</sup>, -C(=O)OH, -C(=W)OH, -C(=O)OR<sup>2</sup>, -C(=W)OR<sup>2</sup>, -C(=O)SH, -C(=W)SH, -C(=O)SR<sup>2</sup>, -C(=W)SR<sup>2</sup>, -C(=O)NH<sub>2</sub>, -C(=W)NH<sub>2</sub>, -C(=O)-NHR<sup>2</sup>, -C(=W)-NHR<sup>2</sup>, -C(=O)NR<sup>2</sup>R<sup>3</sup>, -C(=W)-NR<sup>2</sup>R<sup>3</sup>, -NH<sub>2</sub>, -NHR<sup>2</sup>, -NR<sup>2</sup>R<sup>3</sup>, -NHSO<sub>2</sub>-C<sub>1-3</sub>alkyl, -NR<sup>2</sup>SO<sub>2</sub>-C<sub>1-3</sub>alkyl, -NHCO-C<sub>1-3</sub>alkyl, -NR<sup>2</sup>CO-C<sub>1-3</sub>alkyl, -S(O)<sub>n</sub>-R<sup>3</sup>, C<sub>1-3</sub> alkoxy, C<sub>1-3</sub>thioether, a residue of an amino acid such as -NH(CH<sub>2</sub>)<sub>p</sub>-(amino acid) or -C(=W)NH(CH<sub>2</sub>)<sub>p</sub>-(amino acid).
2. The compound of claim 1, wherein Y is SO<sub>2</sub>.
  3. The compound of claim 1, wherein Z is an amide.
  4. The compound of claim 1, wherein R<sup>1</sup> is hydrogen.
  5. The compound of claim 1, wherein
    - (a) R<sup>1</sup> is hydrogen;
    - (b) R<sup>4'</sup>, R<sup>5'</sup>, R<sup>6'</sup> and R<sup>7'</sup> are independently hydrogen, halogen (F, Cl, Br or I), -NO<sub>2</sub>, -CN, -OR<sup>2</sup>, -NR<sup>2</sup>R<sup>2</sup>, -NHSO<sub>2</sub>-C<sub>1-3</sub>alkyl, -NHCO-C<sub>1-3</sub>alkyl, oxime, hydrazine, or C<sub>1-3</sub> alkyl or alkenyl optionally substituted with one or more of -OH, -SH, -C(O)H, -COOH, halogen (F, Cl, Br or I), -NR<sup>2</sup>R<sup>2</sup>, -C<sub>1-3</sub> alkoxy or -C<sub>1-3</sub> thioether; wherein if R<sup>5'</sup> is hydrogen, F, Cl, Br, -NO<sub>2</sub>, -CN, -OR<sup>2</sup>, -NR<sup>2</sup>R<sup>2</sup>, -NHSO<sub>2</sub>-C<sub>1-3</sub>alkyl or -NHCO-C<sub>1-3</sub>alkyl, then at least one of R<sup>4'</sup>, R<sup>6'</sup> and R<sup>7'</sup> is not hydrogen;
    - (c) R<sup>2''</sup>, R<sup>3''</sup>, R<sup>4''</sup>, R<sup>5''</sup> and R<sup>6''</sup> are independently hydrogen, halogen (F, Cl, Br or I), -NO<sub>2</sub>, -CN, -OH, -OR<sup>2</sup>, -NR<sup>2</sup>R<sup>2</sup>, -NHSO<sub>2</sub>-C<sub>1-3</sub>alkyl, -NHCO-C<sub>1-3</sub>alkyl, -C<sub>1-5</sub> alkoxy, oxime, hydrazine, -C<sub>1-5</sub> alkyl or alkenyl optionally substituted with one or more of -OH, -SH, -C(O)H, -COOH, halogen (F, Cl, Br or I), -NR<sup>2</sup>R<sup>2</sup>, -C<sub>1-5</sub> thioether or -C<sub>1-5</sub> alkoxy;

- (d) Z is  $-\text{CN}$ ,  $-\text{C}(=\text{W})\text{NR}^2\text{R}^3$ ,  $-\text{C}(=\text{O})\text{R}^3$ ,  $-\text{C}(=\text{O})\text{OR}^3$ ,  $-\text{CR}^2\text{R}^2-\text{S}(\text{O})_n-\text{R}^3$ ,  $-\text{CR}^2\text{R}^2\text{NHR}^2$ ,  $-\text{CR}^2\text{R}^2-\text{CO}-\text{R}^3$  or substituted or unsubstituted lower alkyl;
- (e) Y is O, S, or  $\text{S}(\text{O})_n$ ;
- (f) each W is independently O, S,  $-\text{N}-\text{CN}$  or  $-\text{N}-\text{OR}^2$ ;
- (g)  $\text{R}^2$  is hydrogen or  $\text{C}_{1-3}$  alkyl;
- (h)  $\text{R}^3$  is hydrogen, substituted or unsubstituted alkyl, alkenyl, aryl, or heterocycle,  $-\text{C}_{1-5}$  alkoxy,  $-\text{OH}$ ,  $-\text{NR}^2\text{R}^2$ , or  $-(\text{CH}_2)_p\text{C}(\text{O})\text{NR}^2\text{R}^2$ ,
- (i) each n is independently 0, 1 or 2; and
- (j) each p is independently 0, 1, 2, 3, 4, or 5.

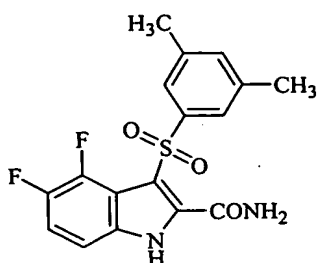
6. The compound of claim 1, wherein

- (a)  $\text{R}^1$  is hydrogen;
- (b)  $\text{R}^{4'}$ ,  $\text{R}^{5'}$ ,  $\text{R}^{6'}$ ,  $\text{R}^{7'}$ , are independently hydrogen, halogen (F, Cl, Br or I),  $-\text{NO}_2$ ,  $-\text{CN}$ ,  $-\text{OR}^2$ ,  $-\text{NR}^2\text{R}^2$ ,  $-\text{NHSO}_2-\text{C}_{1-3}\text{alkyl}$ ,  $-\text{NHCO}-\text{C}_{1-3}\text{alkyl}$ , oxime (defined herein as  $-\text{CH}=\text{N}-\text{OH}$ ), hydrazine (defined herein as  $-\text{NH}-\text{NH}_2$ ), or  $\text{C}_{1-3}$  alkyl or alkenyl optionally substituted with one or more of  $-\text{OH}$ ,  $-\text{SH}$ ,  $\text{C}(\text{O})\text{H}$ ,  $\text{COOH}$ , halogen,  $\text{NR}^2\text{R}^2$ ,  $\text{C}_{1-3}$  alkoxy, or  $\text{C}_{1-3}$  thioether; wherein if  $\text{R}^{5'}$  is hydrogen, F, Cl, Br,  $-\text{NO}_2$ ,  $-\text{CN}$ ,  $-\text{OR}^2$ ,  $-\text{NR}^2\text{R}^2$ ,  $-\text{NHSO}_2-\text{C}_{1-3}\text{alkyl}$  or  $-\text{NHCO}-\text{C}_{1-3}\text{alkyl}$ , then at least one of  $\text{R}^{4'}$ ,  $\text{R}^{6'}$  and  $\text{R}^{7'}$  is not hydrogen;
- (c)  $\text{R}^{2''}$ ,  $\text{R}^{3''}$ ,  $\text{R}^{4''}$ ,  $\text{R}^{5''}$ , and  $\text{R}^{6''}$ , are independently hydrogen, halogen (F, Cl, Br or I),  $-\text{NO}_2$ ,  $-\text{CN}$ ,  $-\text{OR}^2$ ,  $-\text{NHSO}_2-\text{C}_{1-3}\text{alkyl}$ ,  $-\text{NHCO}-\text{C}_{1-3}\text{alkyl}$ , oxime, hydrazine,  $-\text{C}_{1-5}$  alkyl or alkenyl optionally substituted with one or more of  $-\text{OH}$ ,  $-\text{SH}$ ,  $\text{C}(\text{O})\text{H}$ ,  $\text{COOH}$ , halogen,  $\text{NR}^2\text{R}^2$ ,  $\text{C}_{1-5}$  thioether, or  $\text{C}_{1-5}$  alkoxy,  $-\text{C}_{1-5}$  alkoxy,  $-\text{OH}$ , or  $-\text{NR}^2\text{R}^2$ ;
- (d) Z is  $-\text{C}(\text{W})\text{NR}^2\text{R}^3$ , or  $-\text{COR}^3$ ;
- (e) Y is  $-\text{S}(\text{O})_n-$  or  $-\text{O}-$ , in which n is 0, 1 or 2;
- (f) W is O, S,  $-\text{N}-\text{CN}$  or  $-\text{N}-\text{OR}^2$ ;
- (g)  $\text{R}^2$  is hydrogen or  $\text{C}_{1-3}$  alkyl;
- (h)  $\text{R}^3$  is  $\text{C}_{1-5}$  alkyl,  $\text{C}_{1-5}$  alkenyl, aryl, or heterocycle, substituted with one or more of  $\text{C}(\text{O})\text{NR}^2\text{R}^2$ ,  $-\text{NR}^2\text{R}^2$ ,  $-(\text{CH}_2)_m\text{C}(\text{O})\text{NR}^2\text{R}^2$ ,  $-(\text{CH}_2)_m\text{C}(=\text{W})-$

$\text{NH}(\text{CH}_2)_p\text{-(amino acid)}$ ;

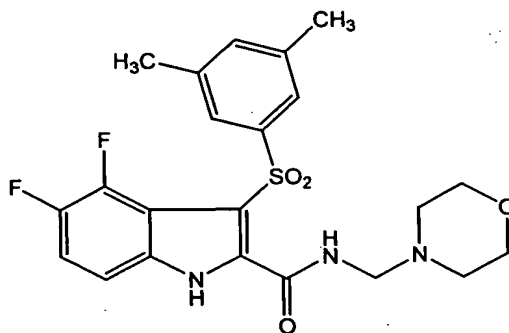
- (i) each  $n$  is independently 0, 1 or 2; and
- (j) each  $p$  is independently 0, 1, 2, 3, 4, or 5.

7. A compound of the formula



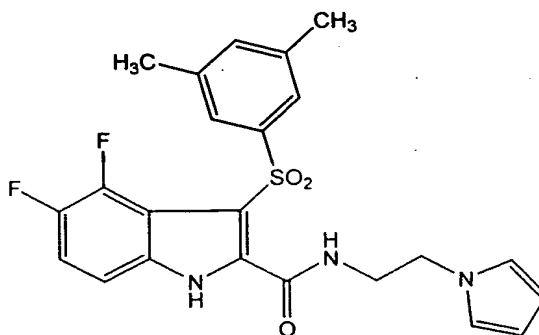
or a pharmaceutically acceptable salt thereof.

8. A compound of the formula



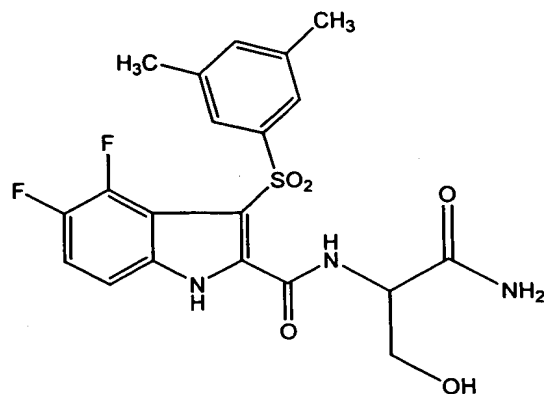
or a pharmaceutically acceptable salt thereof.

9. A compound of the formula



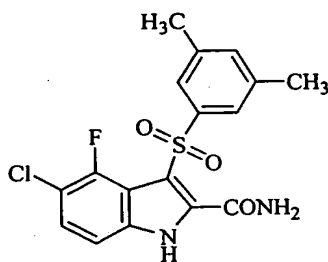
or a pharmaceutically acceptable salt thereof.

10. A compound of the formula



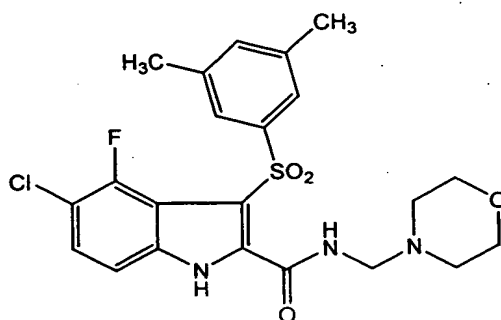
or a pharmaceutically acceptable salt thereof.

11. A compound of the formula



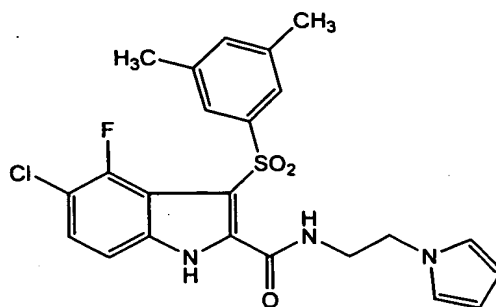
or a pharmaceutically acceptable salt thereof.

12. A compound of the formula



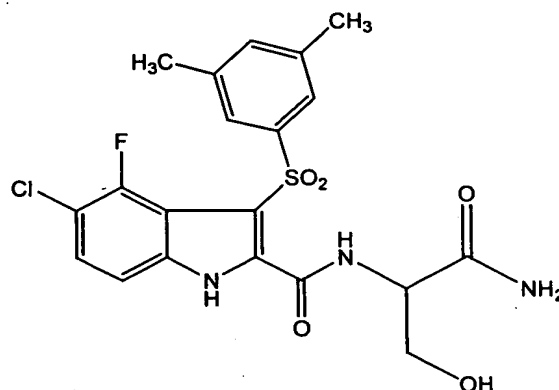
or a pharmaceutically acceptable salt thereof.

13. A compound of the formula



or a pharmaceutically acceptable salt thereof.

14. A compound of the formula



or a pharmaceutically acceptable salt thereof.

15. A pharmaceutical composition comprising an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, together with a pharmaceutically acceptable carrier or diluent.
16. A pharmaceutical composition comprising an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, in combination with one or more other anti-HIV agent, optionally with a pharmaceutically acceptable carrier or diluent.
17. The pharmaceutical composition of claim 16, wherein the other anti-HIV agent is a reverse transcriptase inhibitor.
18. The pharmaceutical composition of claim 17, wherein the reverse transcriptase inhibitor induces a mutation lysine 103 → asparagine and/or tyrosine 181 → cysteine in HIV reverse transcriptase.



19. A method for the treatment or prophylaxis of an HIV-infection in a host comprising administering to said host an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier or diluent.
20. A method for the treatment or prophylaxis of an HIV-infection in a host comprising administering to said host an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, in combination and/or alternation with one or more other anti-HIV agent, optionally in a pharmaceutically acceptable carrier or diluent.
21. The method of claim 20, wherein the other anti-HIV agent is a reverse transcriptase inhibitor.
22. The method of claim 21, wherein the reverse transcriptase inhibitor induces a mutation lysine 103 → asparagine and/or tyrosine 181 → cysteine in HIV reverse transcriptase.
23. A method for the treatment or prophylaxis of an HIV-infection in a host, wherein the HIV has a mutation at lysine 103 → asparagine and/or tyrosine 181 → cysteine in HIV reverse transcriptase, comprising administering to said host an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier or diluent.
24. A method for the treatment or prophylaxis of an HIV-infection in a host, wherein the HIV is resistant to one or more reverse transcriptase inhibitor(s), comprising administering to said host an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, in combination and/or alternation with one or more other anti-HIV agent, optionally in a pharmaceutically acceptable carrier or diluent.
25. A method for salvage therapy in the treatment or prophylaxis of an HIV-infection in a host, comprising administering to said host an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier or diluent.

26. A method for salvage therapy in the treatment or prophylaxis of an HIV-infection in a host, comprising administering to said host an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, in combination and/or alternation with one or more other anti-HIV agent, optionally in a pharmaceutically acceptable carrier or diluent.
27. A method for the treatment or prophylaxis of an HIV-infection in a host, wherein the HIV is resistant to one or more reverse transcriptase inhibitor(s), comprising administering to said host an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier or diluent.
28. A method for the treatment or prophylaxis of an HIV-infection in a host, wherein the HIV has a mutation at lysine 103 → asparagine and/or tyrosine 181 → cysteine in HIV reverse transcriptase, comprising administering to said host an effective anti-HIV treatment amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, in combination and/or alternation with one or more other anti-HIV agent, optionally in a pharmaceutically acceptable carrier or diluent.
29. The method of any one of claims 19-28 wherein the host is a human.